

Welcome to STN International! Enter x:x

LOGINID: ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 14:29:57 ON 25 MAR 2004

=> file reg
COST IN U.S. DOLLARS
SINCE FILE ENTRY TOTAL
SESSION
0.21 0.21
FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:30:07 ON 25 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6
DICTIONARY FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

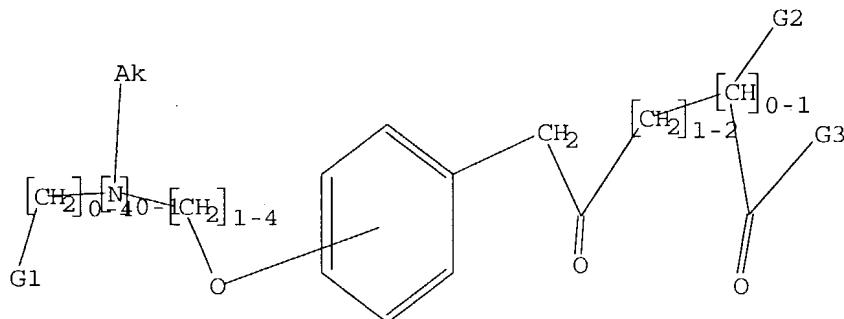
See the Table Summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> Uploading c:\program files\stnexp\queries\10684644.1

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS
L1 STR



G1 Cb,Cy,Hy

G2 N,NH,NH2

G3 OH,MeO,EtO,n-PrO,i-PrO,n-BuO,i-BuO,s-BuO,t-BuO,NH,NH2

Structure attributes must be viewed using STN Express query preparation.

```
=> s 11 sss full
FULL SEARCH INITIATED 14:30:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 158438 TO ITERATE
```

100.0% PROCESSED 158438 ITERATIONS 5 ANSWERS
 SEARCH TIME: 00.00.06

L2 5 SEA SSS FUL L1

```
=> file caplus
'CAPOLUS' IS NOT A VALID FILE NAME
SESSION CONTINUES IN FILE 'REGISTRY'
Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files
that are available. If you have requested multiple files, you can
specify a corrected file name or you can enter "IGNORE" to continue
accessing the remaining file names entered.
```

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 14:30:57 ON 25 MAR 2004
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is

strictly prohibited.

FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13
 FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 5 L2

=> d 13 fbib hitstr abs total

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:656421 CAPLUS
 DN 139:197489
 TI Preparation of azolecarboxylic acids useful as antidiabetic and antiobesity agents
 IN Cheng, Peter T.; Zhang, Hao; Hariharan, Narayanan
 PA USA
 SO U.S. Pat. Appl. Publ., 81 pp., Cont.-in-part of U.S. Ser. No. 153,454.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003158232	A1	20030821	US 2002-294525	20021114
			US 2001-294380PP	20010530
US 2003092736	A1	20030515	US 2002-153454	A220020522
			US 2002-153454	20020522
			US 2001-294380PP	20010530

PATENT FAMILY INFORMATION:

FAN 2002:927185

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096358	A2	20021205	WO 2002-US16633	20020523
WO 2002096358	A3	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2001-294380PP	20010530
EP 1390363	A2	20040225	EP 2002-729306	20020523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			US 2001-294380PP	20010530
			WO 2002-US16633W	20020523

OS MARPAT 139:197489

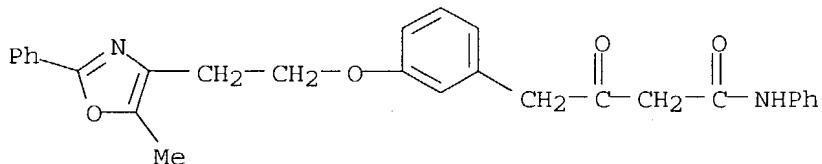
IT 477773-89-0P 477774-03-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

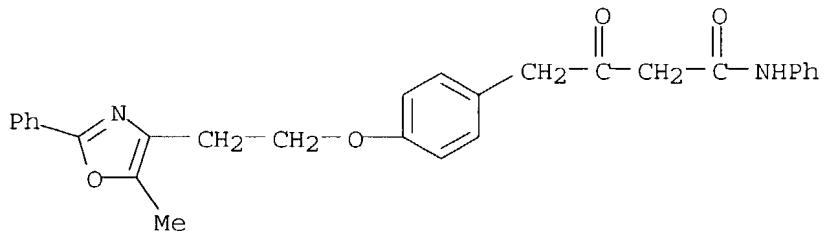
(Reactant or reagent)

(prepn of azolecarboxylic acids useful as antidiabetic and antiobesity agents)

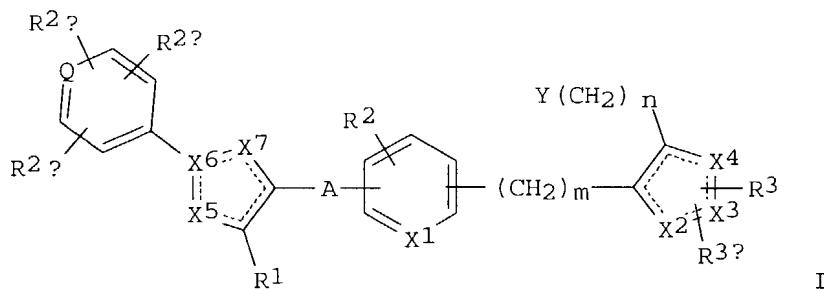
RN 477773-89-0 CAPLUS

CN Benzenebutanamide, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- β -oxo-N-phenyl- (9CI) (CA INDEX NAME)

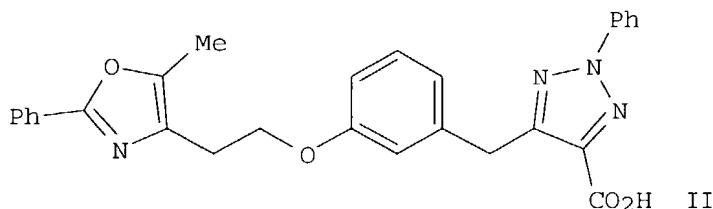
RN 477774-03-1 CAPLUS

CN Benzenebutanamide, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- β -oxo-N-phenyl- (9CI) (CA INDEX NAME)

GI



I



II

AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH₂)_x, (CH₂)_{x1}, (CH₂)_{x2}O(CH₂)_{x3}; x = 1-5; x₁ = 2-5; x₂, x₃ = 0-5; ≥1 of x₂, x₃ ≠ 0; X₁ = CH, N; X₂, X₃, X₄, X₅, X₇ = C, N, O, S; in each of X₁-X₇, C may include CH; R₁ = H, alkyl; R₂ = H, alkyl, alkoxy, halo, (substituted) amino; R_{2a}, R_{2b} and R_{2c} = H, alkyl, alkoxy, halo, (substituted) amino; R₃, R_{3a} = H, alkyl, arylalkyl, aryloxycarbonyl, alkyloxycarbonyl, alkynylloxycarbonyl, alkenyloxycarbonyl, arylcarbonyl, etc.; Y = CO₂R₄, 1-tetrazolyl, P(O)(OR_{4a})R₅, P(O)(OR_{4a})₂; R₄ = H, alkyl, prodrug ester; R_{4a} = H, prodrug ester; R₅ = alkyl, aryl; with provisos], were prepared as simultaneous inhibitors of peroxisome proliferator activated receptor- γ (PPAR γ) and stimulators of peroxisome proliferator activated receptor- α (PPAR α). Thus, title compound (II) (prepared starting from Meldrum's acid 3-methoxyphenylacetyl chloride) bound to human PPAR α and to PPAR γ ligand binding domains with IC₅₀ = 69 nM.

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:610450 CAPLUS

DN 139:164813

TI Preparation of imidazo[1,2-a]pyridine derivatives as antifungal agents
IN Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiro; Takeshita, Hiroshi; Kimura, Youichi; Watanabe, Jun; Sugimoto, Yuichi; Kitamura, Akihiro; Nakajima, Ryohei; Kanai, Kazuo; Fujisawa, Tetsunori

PA Daiichi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 309 pp.

CODEN: PIXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
PI WO 2003064422	A1	20030807	WO 2003-JP912	20030130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	JP 2002-22767	A 20020131	

OS MARPAT 139:164813

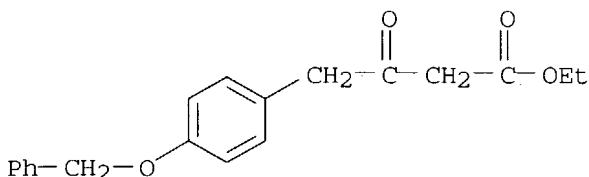
IT 577776-39-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

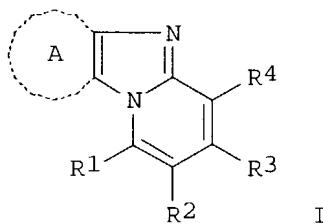
(preparation of imidazo[1,2-a]pyridine derivs. as antifungal agents with specific or selective 1,6- β -glucan)

RN 577776-39-7 CAPLUS

CN Benzenebutanoic acid, β -oxo-4-(phenylmethoxy)-, ethyl ester (9CI)
(CA INDEX NAME)



GI



AB The title compds. (I), salts thereof, or solvates of either [wherein the ring A = (un)substituted benzene ring or 5- or 6-membered heteroaryl containing 1-3 heteroatoms selected from N, O, and S; R1 = H, halo, each (un)protected NH2, HO, or SH, NO2, cyano, CHO, CO2H, each (un)substituted CONH2, NH2, C1-10 alkyl, C1-10 alkylamino, C1-10 alkoxy, C1-10 alkylthio, C2-6 acyl, C2-7 alkoxy carbonyl, C3-10 cycloalkyl, C3-10 cycloalkylamino, C3-10 cycloalkyloxy, C3-10 cycloalkylthio, C4-10 cycloalkenyl, C4-10 cycloalkenylamino, C4-10 cycloalkenylloxy, C4-10 cycloalkenylthio, C6-10 aryl, C6-10 arylamino, or C6-10 aryloxy, etc.; R2 = H, halo, (un)protected NH2 or OH, NO2, cyano, CO2H, each (un)substituted CONH2, C1-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, C1-20 alkylamino, C1-20 alkoxy, C2-18 acyl, C2-18 alkoxy carbonyl, C3-10 cycloalkyl, C5-10 cycloalkenyl, C3-10 cycloalkylamino, or C4-16 cycloalkylalkyl, etc.; R3 = H, halo, (un)protected NH2, OH, or SH, NO2, cyano, CHO, CO2H, each (un)substituted CONH2, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, C1-6 alkylthio, C2-5 acyl, or C2-5 alkoxy carbonyl, etc.; R4 = H, halo, (un)protected NH2 or OH, NO2, cyano, CO2H, SO3H, each (un)substituted CONH2, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, C2-5 acyl, C2-5 alkoxy carbonyl, C1-6 alkylcarbonyloxy, or C1-6 alkyloxysulfonyl, etc.] are prepared. These compds. have a wide spectrum of antifungal activity by a novel mechanism, i.e., specific or selective 1,6- β -glucan synthesis inhibition. Thus, 1-chloro-3-methyl-2-phenylpyrido[1,2-a]benzimidazole-4-carbonitrile, (3S)-dimethylaminopyrrolidine, Et3N, and DMF were heated at 80° for 14 h in a sealed vessel to give 61% 1-[(3S)-dimethylpyrrolidin-1-yl]-3-methyl-2-phenylpyrido[1,2-a]benzimidazole-4-carbonitrile formate (II). II showed min. inhibitory concentration of <0.063, <0.063, and 0.5 μ g/mL against *Saccharomyces cerevisiae*, *Candida glabrata*, and *C. krusei*, resp. Pharmaceutical formulations, e.g. a capsule containing 1-[2-(diethylamino)ethylamino]-2-ethyl-3-methylpyrido[1,2-a]benzimidazole-4-carbonitrile, were described.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:964135 CAPLUS

DN 138:24543
 TI Preparation of benzyloxyphenyloxobutyrates and related compounds for the treatment of metabolic disorders

IN Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.
 PA Wellstat Therapeutics Corporation, USA
 SO PCT Int. Appl., 242 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100341	A2	20021219	WO 2002-US18388	20020612
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				US 2001-297282PP 20010612
	US 2003149107	A1	20030807	US 2002-167839	20020612
				US 2001-297282PP	20010612

OS MARPAT 138:24543

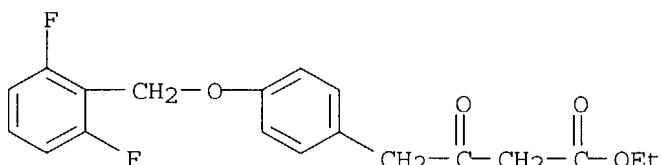
IT 478162-71-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

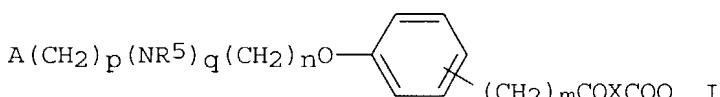
(preparation of benzyloxyphenyloxobutyrates and related compds. for treatment of metabolic disorders)

RN 478162-71-9 CAPLUS

CN Benzenebutanoic acid, 4-[(2,6-difluorophenyl)methoxy]- β -oxo-, ethyl ester (9CI) (CA INDEX NAME)



GI



AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R5 = alkyl; R9 = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-,

perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH₂, Q = OR₁, R₁ = Et; or X = CH₂CR₁₂R₁₃, CH₂CH(NHAc), Q = OR₁, R₁ = H, alkyl; or X = CH₂CH₂, Q = NR₁₀R₁₁; R₁₂, R₁₃ = H, Me; 1 of R₁₀, R₁₁ = H, alkyl, OH, the other = H, alkyl], were prepared. Thus, 4-(2-fluorobenzyl)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzyl)phenyl]-4-oxobutyrate. The latter was stirred with CF₃CO₂H in CH₂Cl₂ to give 4-[4-(2-fluorobenzyl)phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:927185 CAPLUS
 DN 138:24716
 TI Preparation of azolecarboxylic acids useful as antidiabetic and antiobesity agents
 IN Cheng, Peter T.; Zhang, Hao; Hariharan, Narayanan
 PA Bristol-Myers Squibb Company, USA
 SO PCT Int. Appl., 169 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002096358	A2	20021205	WO 2002-US16633	20020523
	WO 2002096358	A3	20030327		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2001-294380PP	20010530
EP	1390363	A2	20040225	EP 2002-729306	20020523
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2001-294380PP	20010530
				WO 2002-US16633W	20020523

PATENT FAMILY INFORMATION:

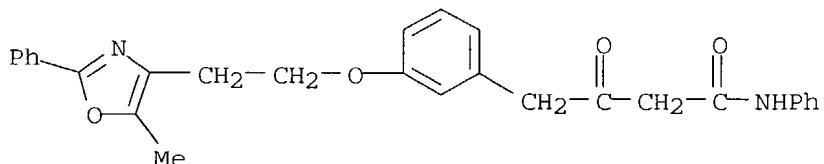
FAN 2003:656421

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003158232	A1	20030821	US 2002-294525	20021114
				US 2001-294380PP	20010530
	US 2003092736	A1	20030515	US 2002-153454	A220020522
				US 2002-153454	20020522
				US 2001-294380PP	20010530
OS	MARPAT	138:24716			

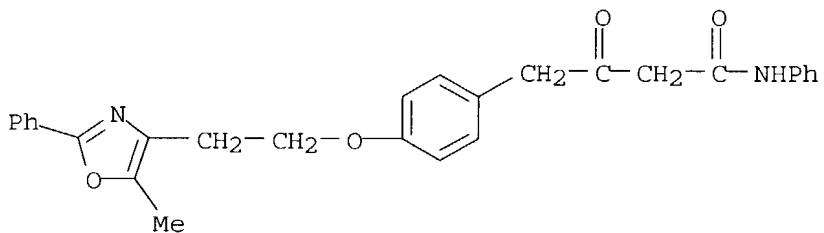
IT 477773-89-0P 477774-03-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn of azolecarboxylic acids useful as antidiabetic and antiobesity agents)

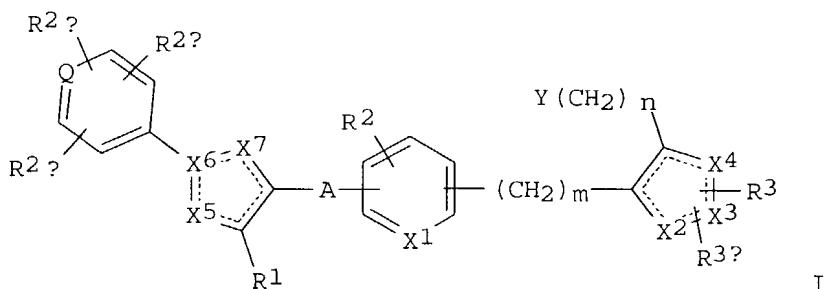
RN 477773-89-0 CAPLUS

CN Benzenebutanamide, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- β -oxo-N-phenyl- (9CI) (CA INDEX NAME)

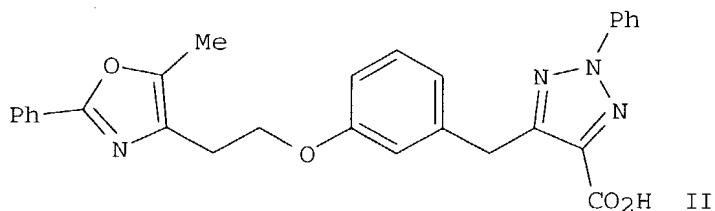
RN 477774-03-1 CAPLUS

CN Benzenebutanamide, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- β -oxo-N-phenyl- (9CI) (CA INDEX NAME)

GI



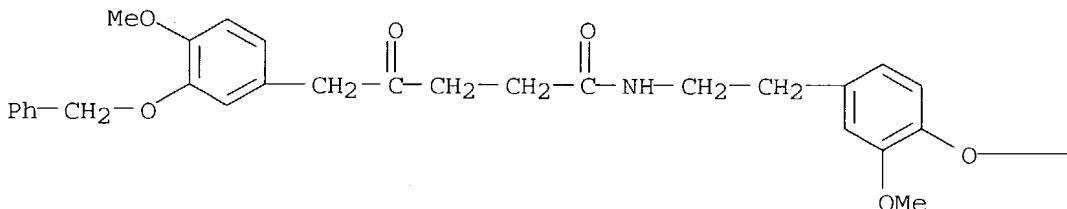
I



AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH₂)_x, (CH₂)_{x1}, (CH₂)_{x2}O(CH₂)_{x3}; x = 1-5; x₁ = 2-5; x₂, x₃ = 0-5; ≥1 of x₂, x₃ ≠ 0; X₁ = CH, N; X₂, X₃, X₄, X₅, X₇ = C, N, O, S; in each of X₁-X₇, C may include CH; R₁ = H, alkyl; R₂ = H, alkyl, alkoxy, halo, (substituted) amino; R_{2a}, R_{2b} and R_{2c} = H, alkyl, alkoxy, halo, (substituted) amino; R₃, R_{3a} = H, alkyl, arylalkyl, aryloxycarbonyl, alkylloxycarbonyl, alkynylloxycarbonyl, alkenyloxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, alkyl(halo)aryloxycarbonyl, alkoxy(halo)aryloxycarbonyl, cycloalkylaryloxycarbonyl, cycloalkyloxycarbonyl, cycloheteroalkyl, heteroarylcarbonyl, heteroarylheteroarylalkyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkoxy carbonylamino, aryloxycarbonylamino, heteroarylheteroarylcarbonyl, alkylsulfonyl, alkenylsulfonyl, heteroaryloxycarbonyl, cycloheteroalkyloxycarbonyl, heteroarylalkyl, aminocarbonyl, substituted aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, aryloxyarylalkyl, alkynylloxycarbonyl, haloalkyloxycarbonyl, alkoxy carbonylaryloxycarbonyl, aryloxyaryloxycarbonyl, arylsulfinylarylcarbonyl, etc.; Y = CO₂R₄, 1-tetrazolyl, P(O)(OR_{4a})R₅, P(O)(OR_{4a})₂; R₄ = H, alkyl, prodrug ester; R_{4a} = H, prodrug ester; R₅ = alkyl, aryl; with provisos], were prepared as simultaneous inhibitors of peroxisome proliferator activated receptor- γ (PPAR γ) and stimulators of peroxisome proliferator activated receptor- α (PPAR α). Thus, title compound (II) (prepared starting from Meldrum's acid 3-methoxyphenylacetyl chloride) bound to human PPAR α and to PPAR γ ligand binding domains with IC₅₀ = 69 nM.

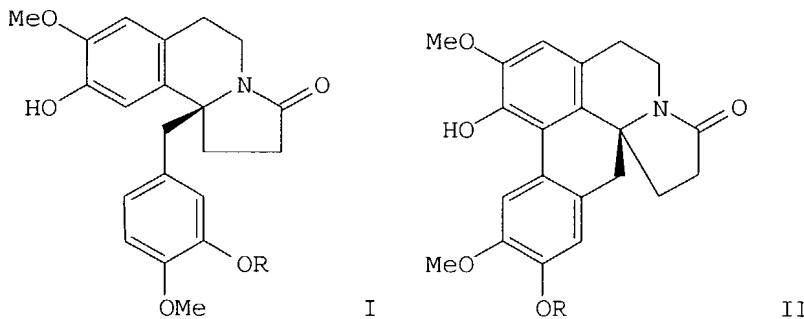
L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1988:22115 CAPLUS
 DN 108:22115
 TI Conformational effects on the oxidative coupling of benzyltetrahydroisoquinolines to morphinan and aporphine alkaloids
 AU Burnett, Duane A.; Hart, David J.
 CS Dep. Chem., Ohio State Univ., Columbus, OH, 43210, USA
 SO Journal of Organic Chemistry (1987), 52(26), 5662-7
 CODEN: JOCEAH; ISSN: 0022-3263
 DT Journal
 LA English
 OS CASREACT 108:22115
 IT 110698-50-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 110698-50-5 CAPLUS
 CN Benzenepentanamide, 4-methoxy-N-[2-[3-methoxy-4-(phenylmethoxy)phenyl]ethyl]- γ -oxo-3-(phenylmethoxy) - (9CI) (CA INDEX NAME)

PAGE 1-A



$$-\text{CH}_2-\text{Ph}$$

GI



AB Conformationally rigid 1-benzyltetrahydroisoquinolines I ($R = H, Me$) were prepared. Oxidation of I ($R = H$) with vanadium oxychloride or thallium(III) trifluoroacetate gave structure II related to aporphine alkaloids as did oxidation of I ($R = Me$) with vanadium oxyfluoride. Oxidation of I ($R = H$) with (diacetoxyiodo)benzene gave a mixture of structures related to aporphine and morphinan alkaloids.

=> file marpat
COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SA SUBSCRIBER PRICE

SINCE FILE	TOTAL
ENTRY	SESSION
37.26	192.89

SINCE FILE	TOTAL
ENTRY	SESSION
-3.47	-3.47

FILE 'MARPAT' ENTERED AT 14:32:23 ON 25 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 140 ISS 12) (20040319/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6696581 24 FEB 2004
DE 10317487 19 FEB 2004

EP 1389746 18 FEB 2004
 JP 2004059557 26 FEB 2004
 WO 2004015164 19 FEB 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

```
=> s 11 sss full
FULL SEARCH INITIATED 14:32:30 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 13114 TO ITERATE

83.1% PROCESSED 10894 ITERATIONS ( 1 INCOMPLETE) 6 ANSWERS

100.0% PROCESSED 13114 ITERATIONS ( 1 INCOMPLETE) 6 ANSWERS
SEARCH TIME: 00.00.36
```

L4 6 SEA SSS FUL L1

```
=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
                           ENTRY SESSION
FULL ESTIMATED COST          109.42 302.31

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL
                                             ENTRY SESSION
CA SUBSCRIBER PRICE          0.00 -3.47
```

FILE 'CAPLUS' ENTERED AT 14:33:16 ON 25 MAR 2004
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13
 FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s 14
L5 6 L4

=> d 15 fbib hitstr abs total

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:334658 CAPLUS
DN 138:368896
```

TI Biologically active 4H-benzo[1,4]oxazin-3-ones useful as PPAR γ agonists or antagonists
 IN Burris, Thomas P.; Combs, Donald W.; Rybczynski, Philip J.; Dudash, Joseph
 PA USA
 SO U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U. S. Ser. No. 854,302.
 CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003083329	A1	20030501	US 2001-990461	20011121
			US 2000-203860PP	20000512
			US 2001-854302	A220010511
US 2002165228	A1	20021107	US 2001-854302	20010511
US 6555536	B2	20030429		US 2000-203860PP 20000512
EP 1314729	A1	20030528	EP 2002-258024	20021121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				US 2001-990461 A 20011121

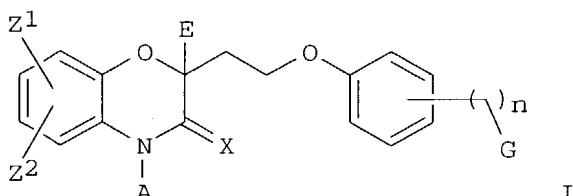
PATENT FAMILY INFORMATION:

FAN 2001:851139

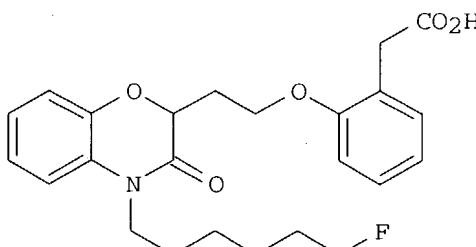
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001087862	A2	20011122	WO 2001-US15383	20010511
WO 2001087862	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				US 2000-203860PP 20000512
US 2002165228	A1	20021107	US 2001-854302 A 20010511	
US 6555536	B2	20030429	US 2001-854302	20010511
EP 1280784	A2	20030205	EP 2001-937335	20010511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				US 2000-203860PP 20000512
				US 2001-854302 A 20010511
				WO 2001-US15383W 20010511

OS MARPAT 138:368896

GI



I



II

AB The invention is directed to 4H-benzoxazin-3-ones I and their stereoisomers, esters, salts, and prodrugs, useful as peroxisome proliferator activated receptor gamma (PPAR γ) agonists or antagonists [wherein: A = (un)substituted aryl, heterocycl, or alkyl; Z1 = H, alkyl, aryl, heterocycl, OH or derivs., CO₂H or derivs., NH₂ or derivs., halo, etc.; Z2 = H, halo, alkyl; or Z1Z2 = atoms to form fused aromatic ring; n = 0-3; G = CO₂R₁, COCO₂R₁, CONR₁R₂, CF₃, P(O)(OR₁)(OR₂), SH, tetrazolyl, certain heterocycles, etc.; E = H, alkyl, -CH₂CH₂OCH₂H₄(CH₂)_nG; X = H₂, O; R₁, R₂ = H, alkyl, aryl, heterocycl, aralkyl; or R₁R₂ = atoms to form 5- to 10-membered ring; with addnl. provisos]. Pharmaceutical compns. comprising the compds. and methods of treating conditions such as NIDDM and obesity are also disclosed. Over 130 specific compds. are listed, and 5 of the preferred compds. are claimed. For instance, the silyl-protected intermediate 2-[2-[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl-2H-1,4-benzoxazin-3(4H)-one (preparation given) underwent a sequence of N-alkylation with Br(CH₂)₆F, desilylation, Mitsunobu reaction with Me (2-hydroxyphenyl)acetate, and alkaline saponification, to give the preferred compound

II. In an agonist intrinsic activity assay for induction of aP2 mRNA production, II gave a 64.9-fold increase over control.

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:964135 CAPLUS

DN 138:24543

TI Preparation of benzyloxyphenyloxobutyrates and related compounds for the treatment of metabolic disorders

IN Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.

PA Wellstat Therapeutics Corporation, USA

SO PCT Int. Appl., 242 pp.

CODEN: PIXXD2

DT Patent

LA English

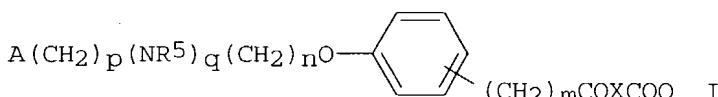
FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100341	A2	20021219	WO 2002-US18388	20020612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
 TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 2001-297282PP 20010612
 US 2003149107 A1 20030807 US 2002-167839 20020612
 US 2001-297282PP 20010612

OS MARPAT 138:24543
 GI



AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R5 = alkyl; R9 = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH2, Q = OR1, R1 = Et; or X = CH2CR12R13, CH2CH(NHAc), Q = OR1, R1 = H, alkyl; or X = CH2CH2, Q = NR10R11; R12, R13 = H, Me; 1 of R10, R11 = H, alkyl, OH, the other = H, alkyl], were prepared. Thus, 4-(2-fluorobenzyl)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzyl)phenyl]-4-oxobutyrate. The latter was stirred with CF3CO2H in CH2Cl2 to give 4-[4-(2-fluorobenzyl)phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:123000 CAPLUS

DN 136:183709

TI Novel 1,4-dihydropyridines as bradykinin antagonists

IN Ikeda, Takafumi; Kato, Tomoki; Katsu, Yasuhiro; Kawai, Makoto; Kawamura, Mitsuhiro; Shishido, Yuji; Murase, Noriaki

PA Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SO PCT Int. Appl., 114 pp.

CODEN: PIXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002012235	A1	20020214	WO 2001-IB1346	20010726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,				

RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
 UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 2002161006 A1 20021031 US 2000-224558PP 20000810
 US 6653313 B2 20031125
 AU 2001070947 A5 20020218 US 2000-224558PP 20000810
 EP 1307449 A1 20030507 AU 2001-70947 20010726
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 BR 2001013071 A 20030701 US 2000-224558PP 20000810
 JP 2004505973 T2 20040226 WO 2001-IB1346 W 20010726
 OS MARPAT 136:183709
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [wherein each A is independently halo; X = -(CH₂)_m-, -C(O)- or S(O)-; R₁ and R₂ are independently C₁₋₄ alkyl; R₃ is substituted azacycloalkyl etc.; R₄ = ortho substituted Ph with substituents selected from substituted C₁₋₇ alkyl, substituted C₁₋₇ alkyl, substituted C₁₋₇ alkoxy, amine, etc; R₅ = hydrogen or C₁₋₄ alkyl; m = 0, 1 or 2; and n = 0, 1, 2, 3, 4 or 5] are prepared and disclosed as bradykinin antagonists. Thus, II was prepared in seven steps via a modified Hantzsch synthesis involving the cyclocondensation of an intermediate benzylidene with an enamine to create the 1,4-dihydropyridine structural unit. The biol. activity of I was determined by their ability to inhibit the binding of bradykinin at its receptor sites in recombinant human bradykinin B₂ receptor expressing CHO-K1 cells (IC₅₀ values for prepared compds. ranged from 0.1 nM to 21 nM). The present invention also relates to pharmaceutical compns. containing such compds. and to the use of such compds. in the treatment and prevention of inflammation, asthma, allergic rhinitis, pain and other disorders.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:851139 CAPLUS
 DN 136:5997
 TI Biologically active 4H-benzo[1,4]oxazin-3-ones useful as PPAR γ agonists or antagonists
 IN Burris, Thomas P.; Combs, Donald W.; Rybczynski, Philip J.
 PA Ortho-McNeil Pharmaceutical, Inc., USA
 SO PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001087862	A2	20011122	WO 2001-US15383	20010511
	WO 2001087862	A3	20020530		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			US 2000-203860PP	20000512
				US 2001-854302 A	20010511
	US 2002165228	A1	20021107	US 2001-854302	20010511
	US 6555536	B2	20030429		
EP	1280784	A2	20030205	US 2000-203860PP	20000512
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			EP 2001-937335	20010511
				US 2000-203860PP	20000512
				US 2001-854302 A	20010511
				WO 2001-US15383W	20010511

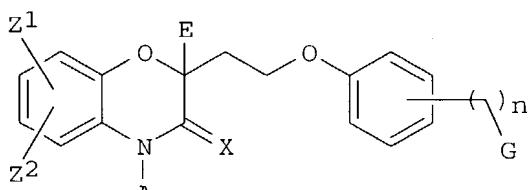
PATENT FAMILY INFORMATION:

FAN 2003:334658

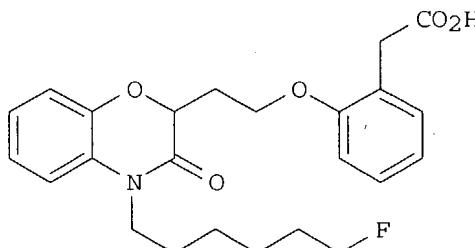
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003083329	A1	20030501	US 2001-990461	20011121
				US 2000-203860PP	20000512
				US 2001-854302 A220010511	
	US 2002165228	A1	20021107	US 2001-854302	20010511
	US 6555536	B2	20030429		
EP	1314729	A1	20030528	US 2000-203860PP	20000512
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			EP 2002-258024	20021121
				US 2001-990461 A	20011121

OS MARPAT 136:5997

GI



I



II

AB The invention is directed to 4H-benzo[1,4]oxazin-3-ones I and their stereoisomers, esters, salts, and prodrugs, useful as peroxisome proliferator activated receptor gamma (PPAR γ) agonists or antagonists [wherein: A = (un)substituted aryl, heterocyclyl, or alkyl; Z1 = H, alkyl, aryl, heterocyclyl, OH or derivs., CO₂H or derivs., NH₂ or derivs., halo, etc.; Z2 = H, halo, alkyl; or Z1Z2 = atoms to form fused aromatic ring; n = 0-3; G = CO₂R₁, COCO₂R₁, CONR₁R₂, CF₃, P(O)(OR₁)(OR₂), SH, tetrazolyl, certain heterocycles, etc.; E = H, alkyl, -CH₂CH₂OC₆H₄(CH₂)_nG; X = H₂, O; R₁, R₂ = H, alkyl, aryl, heterocyclyl, aralkyl; or R₁R₂ = atoms to form 5- to 10-membered ring; with addnl. provisos]. Pharmaceutical compns. comprising the compds. and methods of treating conditions such as NIDDM and obesity are also disclosed. Over 130 specific compds. are listed, and 5 of the preferred compds. are claimed. For instance, the silyl-protected intermediate 2-[2-[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl-2H-1,4-benzoxazin-3(4H)-one (preparation given) underwent a sequence of N-alkylation with Br(CH₂)₆F, desilylation, Mitsunobu reaction with Me (2-hydroxyphenyl)acetate, and alkaline saponification, to give the preferred compound

II. In an agonist intrinsic activity assay for induction of aP2 mRNA production, II gave a 64.9-fold increase over control.

L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:298104 CAPLUS

DN 128:321640

TI Preparation of 3-benzylpyrazoles as herbicides, plant desiccants, and defoliants.

IN Zagar, Cyrill; Hamprecht, Gerhard; Menges, Markus; Menke, Olaf; Schaefer, Peter; Westphalen, Karl-Otto; Misslitz, Ulf; Walter, Helmut

PA BASF A.-G., Germany

SO Ger. Offen., 40 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19645313	A1	19980507	DE 1996-19645313	19961104
	WO 9820000	A2	19980514	WO 1997-EP6057	19971103
	WO 9820000	A3	19981029		

W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
DE 1996-19645313A 19961104

AU 9870017 A1 19980529 AU 1998-70017 19971103
DE 1996-19645313A 19961104

EP 937046 A2 19990825 WO 1997-EP6057 W 19971103
R: CH, DE, FR, GB, LI EP 1997-948864 19971103

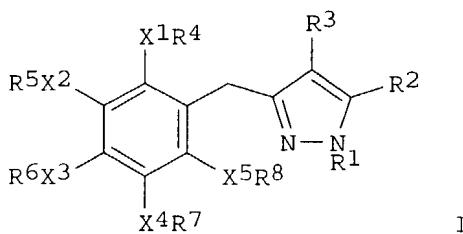
JP 2001503421 T2 20010313 DE 1996-19645313A 19961104
WO 1997-EP6057 W 19971103

US 6451734 B1 20020917 JP 1998-521039 19971103
DE 1996-19645313A 19961104
WO 1997-EP6057 W 19971103

US 1999-297529 19990503
DE 1996-19645313A 19961104

WO 1997-EP6057 W 19971103

OS MARPAT 128:321640
GI



AB Title compds. [I; R1 = alkyl, haloalkyl, alkylsulfonyl, haloalkylsulfonyl; R2 = alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl; R3 = H, cyano, NO₂, halo, alkyl, haloalkyl; X1-X5 = bond, (substituted) CH₂, CH₂CH₂, CH:CH, OCH₂, SCH₂; R4-R8 = H, NO₂, cyano, halo, etc.], were prepared Thus, 3-(2,3-dichlorobenzyl)-5-difluoromethoxy-1-methyl-1H-pyrazole (preparation given) was stirred with SO₂Cl₂ in CCl₄ to give 4-chloro-3-(2,3-dichlorobenzyl)-5-difluoromethoxy-1-methyl-1H-pyrazole. The latter at 0.125 kg/ha gave very good postemergent herbicidal activity.

L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:509397 CAPLUS

DN 121:109397

TI Preparation of ester derivatives of 4-azasteroids as steroid 5 α -reductase inhibitors.

IN Witzel, Bruce E.; Rasmusson, Gary H.; Tolman, Richard L.; Yang, Shu Shu

PA Merck and Co., Inc., USA

SO PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

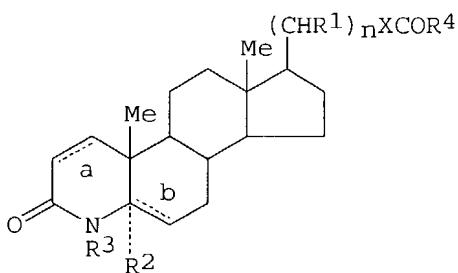
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
PI WO 9323041	A1	19931125	WO 1993-US4771	19930519

W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
 AU 9342525 A1 19931213 AU 1993-42525 19930519
 AU 668181 B2 19960426 US 1992-886022 A219920520
 EP 649306 A1 19950426 US 1992-886022 A 19920520
 EP 649306 B1 20010110 WO 1993-US4771 A 19930519
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
 US 1992-886022 A 19920520
 WO 1993-US4771 W 19930519
 JP 07508039 T2 19950907 JP 1993-503838 19930519
 US 1992-886022 A 19920520
 WO 1993-US4771 W 19930519
 AT 198601 E 20010115 AT 1993-911362 19930519
 US 1992-886022 A 19920520
 WO 1993-US4771 W 19930519
 US 5610162 A 19970311 US 1994-338573 19941117
 US 1992-886022 B219920520
 WO 1993-US4771 W 19930519

PATENT FAMILY INFORMATION:

FAN 1997:204394

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5610162	A	19970311	US 1994-338573	19941117
				US 1992-886022	B219920520
				WO 1993-US4771	W 19930519
	WO 9323041	A1	19931125	WO 1993-US4771	19930519
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1992-886022	A219920520

OS MARPAT 121:109397
GI

AB Title compds. [I; a, b = single bonds, R2 = H; or a = single bond, b = double bond, and R2 = null; R1 = H, aryl, alkyl, aralkyl; R3 = H, Me, Et, OH, NH2, SMe; n = 0-10; X = O, S; R4 = (substituted) alkyl, aryl,

heterocyclyl, cycloalkyl, amino, OH, etc.] were prepared as inhibitors of 5 α -reductase and isoenzymes thereof. The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp (no data). Thus, 20-hydroxy-4-methyl-5 α -4-azapregnan-3-one, 11-ethylthioundecanoic acid, DMAP, and DCC were stirred in CH₂Cl₂ at room temperature to give 20-[11-(ethylthio)undecanoyloxy]-4-methyl-5 α -4-azapregnan-3-one.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	34.58	336.89
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.16	-7.63

STN INTERNATIONAL LOGOFF AT 14:33:41 ON 25 MAR 2004

Welcome to STN International! Enter x:x

LOGINID: ssspta1611sxp

PASSWORD :

TERMINAL (ENTER 1, 2, 3, OR ?):2

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 14:36:24 ON 25 MAR 2004

FILE 'REGISTRY' ENTERED AT 14:36:42 ON 25 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6
DICTIONARY FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See **HELP CROSSOVER** for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

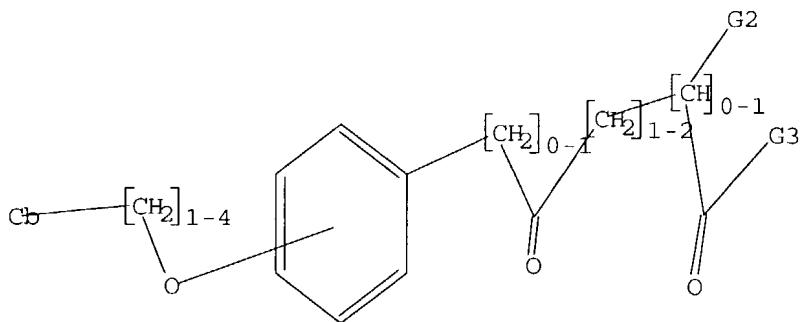
See the title summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
Uploading c:\program files\stnexp\queries\10684644.2

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS
L1 STR



G1

G2 N, NH, NH2

G3 OH, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, s-BuO, t-BuO, NH, NH2

Structure attributes must be viewed using STN Express query preparation.

```
=> s 11 sss full
FULL SEARCH INITIATED 14:37:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 7.5% PROCESSED 312283 ITERATIONS 5 ANSWERS
< 8.8% PROCESSED 367557 ITERATIONS 5 ANSWERS
< 9.6% PROCESSED 400000 ITERATIONS 5 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.42

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 30
```

L2 5 SEA SSS FUL L1

```
=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
                           ENTRY SESSION
FULL ESTIMATED COST          156.26 156.47
```

FILE 'CAPLUS' ENTERED AT 14:38:15 ON 25 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching

databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13
 FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12
 L3 3 L2

=> d 13 fbib hitstr abs total

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:610450 CAPLUS
 DN 139:164813
 TI Preparation of imidazo[1,2-a]pyridine derivatives as antifungal agents
 IN Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiro; Takeshita, Hiroshi; Kimura, Youichi; Watanabe, Jun; Sugimoto, Yuichi; Kitamura, Akihiro; Nakajima, Ryohei; Kanai, Kazuo; Fujisawa, Tetsunori
 PA Daiichi Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 309 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003064422	A1	20030807	WO 2003-JP912	20030130
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				JP 2002-22767	A 20020131

OS MARPAT 139:164813

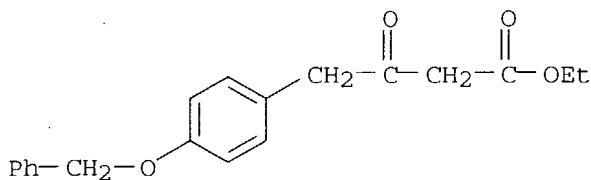
IT 577776-39-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

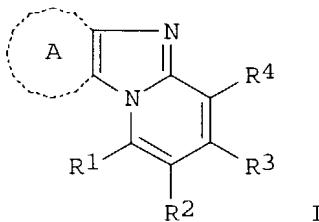
(preparation of imidazo[1,2-a]pyridine derivs. as antifungal agents with specific or selective 1,6- β -glucan)

RN 577776-39-7 CAPLUS

CN Benzenebutanoic acid, β -oxo-4-(phenylmethoxy)-, ethyl ester (9CI)
 (CA INDEX NAME)



GI



AB The title compds. (I), salts thereof, or solvates of either [wherein the ring A = (un)substituted benzene ring or 5- or 6-membered heteroaryl containing 1-3 heteroatoms selected from N, O, and S; R1 = H, halo, each (un)protected NH₂, HO, or SH, NO₂, cyano, CHO, CO₂H, each (un)substituted CONH₂, NH₂, C₁-10 alkyl, C₁-10 alkylamino, C₁-10 alkoxy, C₁-10 alkylthio, C₂-6 acyl, C₂-7 alkoxy carbonyl, C₃-10 cycloalkyl, C₃-10 cycloalkylamino, C₃-10 cycloalkyloxy, C₃-10 cycloalkylthio, C₄-10 cycloalkenyl, C₄-10 cycloalkenylamino, C₄-10 cycloalkenyloxy, C₄-10 cycloalkenylthio, C₆-10 aryl, C₆-10 arylamino, or C₆-10 aryloxy, etc.; R2 = H, halo, (un)protected NH₂ or OH, NO₂, cyano, CO₂H, each (un)substituted CONH₂, C₁-20 alkyl, C₂-20 alkenyl, C₂-20 alkynyl, C₁-20 alkylamino, C₁-20 alkoxy, C₂-18 acyl, C₂-18 alkoxy carbonyl, C₃-10 cycloalkyl, C₅-10 cycloalkenyl, C₃-10 cycloalkylamino, or C₄-16 cycloalkylalkyl, etc.; R3 = H, halo, (un)protected NH₂, OH, or SH, NO₂, cyano, CHO, CO₂H, each (un)substituted CONH₂, C₁-6 alkyl, C₂-6 alkenyl, C₂-6 alkynyl, C₁-6 alkoxy, C₁-6 alkylthio, C₂-5 acyl, or C₂-5 alkoxy carbonyl, etc.; R4 = H, halo, (un)protected NH₂ or OH, NO₂, cyano, CO₂H, SO₃H, each (un)substituted CONH₂, C₁-6 alkyl, C₂-6 alkenyl, C₂-6 alkynyl, C₁-6 alkoxy, C₂-5 acyl, C₂-5 alkoxy carbonyl, C₁-6 alkylcarbonyloxy, or C₁-6 alkyloxysulfonyl, etc.] are prepared. These compds. have a wide spectrum of antifungal activity by a novel mechanism, i.e., specific or selective 1,6- β -glucan synthesis inhibition. Thus, 1-chloro-3-methyl-2-phenylpyrido[1,2-a]benzimidazole-4-carbonitrile, (3S)-dimethylaminopyrrolidine, Et₃N, and DMF were heated at 80° for 14 h in a sealed vessel to give 61% 1-[(3S)-dimethylpyrrolidin-1-yl]-3-methyl-2-phenylpyrido[1,2-a]benzimidazole-4-carbonitrile formate (II). II showed min. inhibitory concentration of <0.063, <0.063, and 0.5 μ g/mL against *Saccharomyces cerevisiae*, *Candida glabrata*, and *C. krusei*, resp. Pharmaceutical formulations, e.g. a capsule containing 1-[2-(diethylamino)ethylamino]-2-ethyl-3-methylpyrido[1,2-a]benzimidazole-4-carbonitrile, were described.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:417725 CAPLUS

DN 139:6773
 TI Preparation of 4-oxoquinoline derivatives as ileal bile acid transporter inhibitors

IN Kurata, Hitoshi; Hasegawa, Tohru; Ikeda, Takuya; Kono, Keita
 PA Sankyo Company, Limited, Japan
 SO PCT Int. Appl., 523 pp.
 CODEN: PIXXD2

DT Patent
 LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003043992	A1	20030530	WO 2002-JP12077	20021119
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	JP 2003212853	A2	20030730	JP 2001-353064 A	20011119
				JP 2002-333314	20021118
				JP 2001-353064 A	20011119

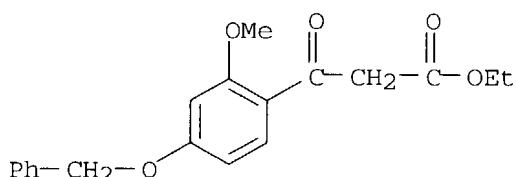
OS MARPAT 139:6773

IT 535969-65-4P 535969-97-2P 535970-53-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 4-oxoquinoline derivs. as ileal bile acid transporter inhibitors)

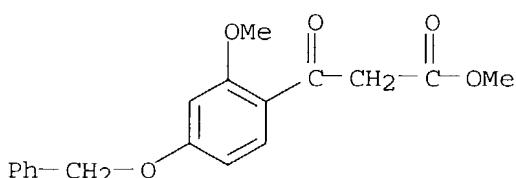
RN 535969-65-4 CAPLUS

CN Benzenepropanoic acid, 2-methoxy-β-oxo-4-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

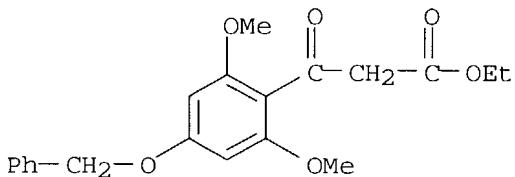


RN 535969-97-2 CAPLUS

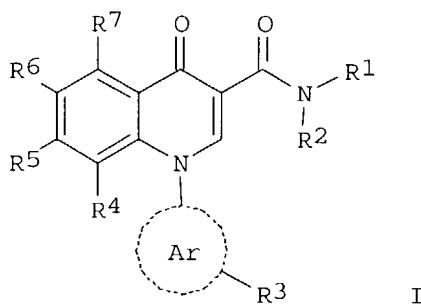
CN Benzenepropanoic acid, 2-methoxy-β-oxo-4-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 535970-53-7 CAPLUS
 CN Benzenepropanoic acid, 2,6-dimethoxy- β -oxo-4-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



GI



AB The title compds., e.g. I [R1 is aryl or the like; R2 is lower alkyl or the like; R3 is ADEGn+ (X-)n (wherein A is oxygen or the like; D is C1-12 alkylene or the like; E is a single bond or the like; Gn+ is substituted ammonio or the like; X- is an anion; and n is an integer of 1 or 2); R4, R6 and R7 are each hydrogen or the like; R5 is hydrogen or the like; and Ar is aryl or the like], are prepared. In an in vitro test, compds. of this invention at 30 μ g/mL gave 83.1% to 100% ileal bile acid transporter inhibition. A formulation is given.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:389980 CAPLUS
 DN 138:401612
 TI Preparation of carbostyryl derivatives and their use as oxytocin antagonists and therapeutics for treatment of premature delivery, miscarriage, dysmenorrhea, and galactorrhea
 IN Shiraiwa, Masafumi; Ota, Shuji; Takefuchi, Ken; Uchida, Hiroshi; Saegusa, Mamoru; Mitsubori, Tomohiro; Yoshizawa, Masayuki
 PA Teikoku Hormone Mfg. Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 142 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003146972	A2	20030521	JP 2001-348850	20011114

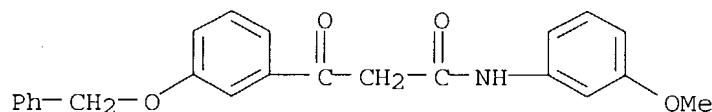
JP 2001-348850 20011114

OS MARPAT 138:401612

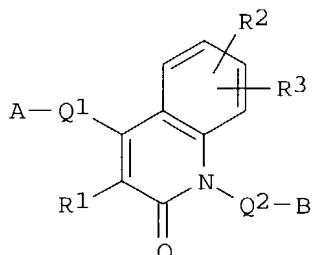
IT 528831-08-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of carbostyryl derivs. as oxytocin antagonists)

RN 528831-08-5 CAPLUS

CN Benzenepropanamide, N-(3-methoxyphenyl)- β -oxo-3-(phenylmethoxy)-(9CI) (CA INDEX NAME)

GI



I

AB Title derivs. I [Q1 = bond, CH₂, CH₂CH₂, vinyl, CHMe, etc.; A = lower alkyl, (un)substituted cycloalkyl (condensed with hydrocarbyl ring), (un)substituted aryl, (un)substituted heterocyclyl (condensed with hydrocarbyl ring); R₁ = H, lower alkyl; R₂, R₃ = H, (un)substituted lower alkyl(oxy), aralkyloxy, piperidinyl, etc.; R₂R₃ may be linked to form lower alkylenedioxy; Q₂ = bond, CH₂, CH₂CH₂, etc.; B = CO₂H, lower alkoxy carbonyl, (un)substituted 2-pyridinyl, (un)substituted Ph, (un)substituted cyclohexyl, etc.] or their salts are claimed. The derivs. are also useful for termination of delivery prior to Caesarean section. Thus, 4-(2,3-dimethoxyphenyl)-7-methoxy-2-oxoquinoline was treated with Me 4-bromomethylbenzoate to give 56% I (AQ1 = 2,3-dimethoxyphenyl, R₁-R₃ = H, Q2B = 4-CH₂C₆H₄CO₂Me), which inhibited binding of [³H]-oxytocin to its receptor with IC₅₀ of 0.972 μ mol/L.

=> log y

COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
14.71	171.18

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
-2.08	-2.08

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 14:38:37 ON 25 MAR 2004

10684644.2

Page 9

Patel

<3/24/2004>

Welcome to STN International! Enter x:x

LOGINID: ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?) :2

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 14:40:14 ON 25 MAR 2004

FILE 'REGISTRY' ENTERED AT 14:40:38 ON 25 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6
DICTIONARY FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

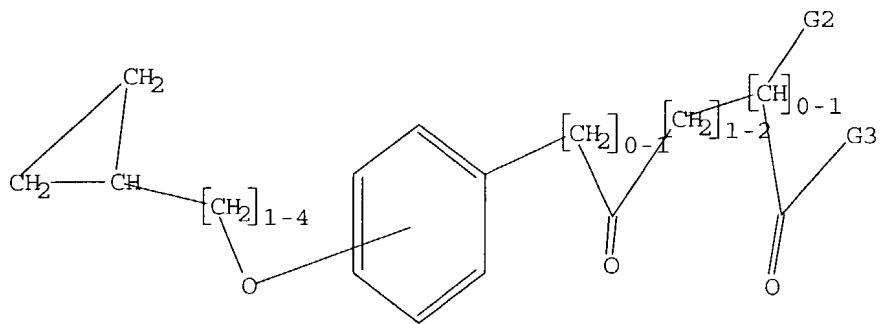
For more information, check on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> Uploading c:\program files\stnexp\queries\10684644.3

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS
L1 STR



G1

G2 N, NH, NH2

G3 OH, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, s-BuO, t-BuO, NH, NH2

Structure attributes must be viewed using STN Express query preparation.

```
=> s 11 sss full
FULL SEARCH INITIATED 14:41:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE
```

```
< 13.3% PROCESSED 400000 ITERATIONS 4 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.12
```

```
FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 13
```

L2 4 SEA SSS FUL L1

```
=> file marpat
COST IN U.S. DOLLARS SINCE FILE TOTAL
                           ENTRY SESSION
FULL ESTIMATED COST      155.84 156.05
```

```
FILE 'MARPAT' ENTERED AT 14:41:51 ON 25 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)
```

FILE CONTENT: 1988-PRESENT (VOL 140 ISS 12) (20040319/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

```
US 6696581 24 FEB 2004
DE 10317487 19 FEB 2004
EP 1389746 18 FEB 2004
JP 2004059557 26 FEB 2004
WO 2004015164 19 FEB 2004
```

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

```
=> s 11 sss full
FULL SEARCH INITIATED 14:41:57 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 37823 TO ITERATE

21.7% PROCESSED 8205 ITERATIONS ( 1 INCOMPLETE) 2 ANSWERS
42.6% PROCESSED 16127 ITERATIONS ( 2 INCOMPLETE) 3 ANSWERS
66.1% PROCESSED 25000 ITERATIONS ( 3 INCOMPLETE) 4 ANSWERS
90.0% PROCESSED 34023 ITERATIONS ( 3 INCOMPLETE) 5 ANSWERS
97.0% PROCESSED 36672 ITERATIONS ( 3 INCOMPLETE) 5 ANSWERS
98.9% PROCESSED 37411 ITERATIONS ( 3 INCOMPLETE) 5 ANSWERS
100.0% PROCESSED 37823 ITERATIONS ( 3 INCOMPLETE) 5 ANSWERS
SEARCH TIME: 00.01.57
```

L3 5 SEA SSS FUL L1

```
=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
                           ENTRY SESSION
FULL ESTIMATED COST           110.68 266.73
```

FILE 'CAPLUS' ENTERED AT 14:44:19 ON 25 MAR 2004
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13
 FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

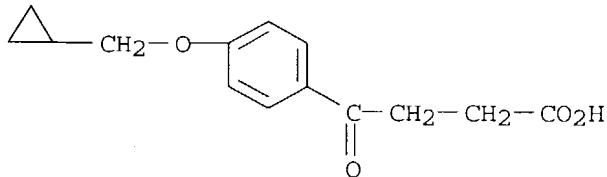
This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s 12
L4 1 L2
=> s 13
L5 5 L3
```

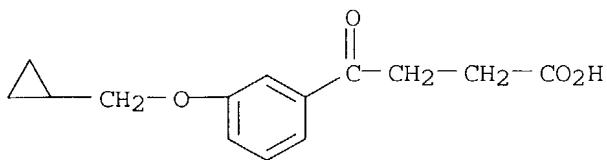
=> d 14 fbib hitstr abs total

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:964135 CAPLUS
 DN 138:24543
 TI Preparation of benzyloxyphenyloxobutyrates and related compounds for the treatment of metabolic disorders
 IN Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.
 PA Wellstat Therapeutics Corporation, USA
 SO PCT Int. Appl., 242 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002100341	A2	20021219	WO 2002-US18388	20020612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2001-297282PP 20010612				
US 2003149107	A1	20030807	ÜS 2002-167839	20020612
US 2001-297282PP 20010612				
OS MARPAT 138:24543				
IT 478162-67-3P 478162-77-5P				
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
(preparation of benzyloxyphenyloxobutyrates and related compds. for treatment of metabolic disorders)				
RN 478162-67-3	CAPLUS			
CN Benzenebutanoic acid, 4-(cyclopropylmethoxy)- γ -oxo- (9CI)	(CA INDEX NAME)			



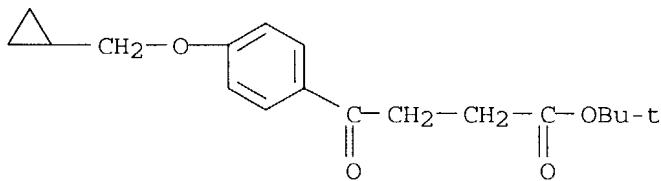
RN 478162-77-5 CAPLUS
 CN Benzenebutanoic acid, 3-(cyclopropylmethoxy)- γ -oxo- (9CI) (CA INDEX NAME)



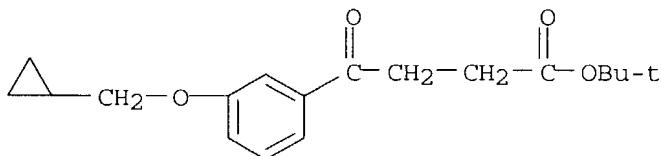
IT 478163-21-2P 478163-33-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of benzylxyphenyloxobutyrates and related compds. for treatment of metabolic disorders)

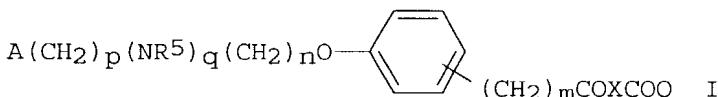
RN 478163-21-2 CAPLUS

CN Benzenebutanoic acid, 4-(cyclopropylmethoxy)- γ -oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 478163-33-6 CAPLUS

CN Benzenebutanoic acid, 3-(cyclopropylmethoxy)- γ -oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

GI



AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R5 = alkyl; R9 = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH2, Q = OR1, R1 = Et; or X = CH2CR12R13, CH2CH(NHAc), Q = OR1, R1 = H, alkyl; or X = CH2CH2, Q = NR10R11; R12, R13 = H, Me; 1 of R10, R11 = H, alkyl, OH, the other = H, alkyl], were prepared. Thus, 4-(2-fluorobenzyl)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu

bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzyl)oxy]phenyl]-4-oxobutyrate. The latter was stirred with CF₃CO₂H in CH₂Cl₂ to give 4-[4-(2-fluorobenzyl)oxy]phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

=> d his

(FILE 'HOME' ENTERED AT 14:40:14 ON 25 MAR 2004)

FILE 'REGISTRY' ENTERED AT 14:40:38 ON 25 MAR 2004

L1 STRUCTURE uploaded
L2 4 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 14:41:51 ON 25 MAR 2004

L3 5 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:44:19 ON 25 MAR 2004

L4 1 S L2
L5 5 S L3

```
=> d 15 fbib hitstr abs total
```

L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:964135 CAPLUS

DN 138:24543

TI Preparation of benzyloxyphenyloxobutyrates and related compounds for the treatment of metabolic disorders

IN Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.

PA Wellstat Therapeutics Corporation, USA

SO PCT Int. Appl., 242 pp.

CODEN: P1XXD2

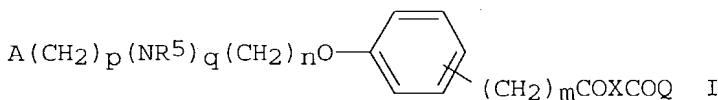
DT Patent

LA English

FAN, CNT 1

PATENT NO.

PI	WO	2002100341	A2	20021219	WO	2002-US18388	20020612
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
					US	2001-297282PP	20010612
	US	2003149107	A1	20030807	US	2002-167839	20020612
					US	2001-297282PP	20010612



AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R5 = alkyl; R9 = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH2, Q = OR1, R1 = Et; or X = CH2CR12R13, CH2CH(NHAc), Q = OR1, R1 = H, alkyl; or X = CH2CH2, Q = NR10R11; R12, R13 = H, Me; 1 of R10, R11 = H, alkyl, OH, the other = H, alkyl], were prepared. Thus, 4-(2-fluorobenzyl)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzyl)oxy]phenyl]-4-oxobutyrate. The latter was stirred with CF3CO2H in CH2Cl2 to give 4-[4-(2-fluorobenzyl)oxy]phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:380538 CAPLUS

DN 134:366686

TI Preparation of 4-benzylxyphenylalkanoic acids and analogs as thyroid receptor antagonists for the treatment of cardiac and metabolic disorders

IN Malm, Johan; Litten, Chris; Apelqvist, Theresa; Hedfors, Asa; Brandt, Peter; Edvinsson, Karin; Gordon, Sandra

PA Karo Bio AB, Swed.

SO PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DT Patent

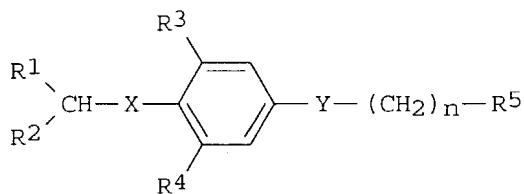
LA English

FAN.CNT 1

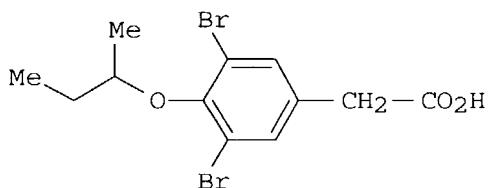
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001036365	A2	20010525	WO 2000-EP11554	20001116
	WO 2001036365	A3	20021107		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				GB 1999-27056	A 19991117

OS MARPAT 134:366686

GI



I



II

AB The title compds. (I) [wherein R₁ = (un)substituted (hetero)aryl, (cyclo)alkyl, alkenyl, or alkynyl; R₂ = H, alkyl, alkenyl, alkynyl, alkoxy, or bioisosteric equivalent; or R₁ and R₂ may for an (un)substituted cycloalkyl ring; X = O, S, S(O), SO₂, Se, Te, NRC, or S-S; R₃ and R₄ = independently halo, (cyclo)alkyl, alkenyl, alkynyl, alkoxy, CF₃, OCF₃, OCF₂H, SMe, SCF₃, CO₂H, or bioisosteric equivalent; n = 0-3; Y = CO, O, S, CHR_b, or NRC; R_b = H, halo, CF₃, alkyl, alkenyl, alkynyl, alkoxy, (CH₂)₀₋₄OH, or bioisosteric equivalent; R_c = H, alkyl, alkenyl, alkynyl, or bioisosteric equivalent] were prepared as thyroid receptor ligands, preferably antagonists, for treatment of cardiac arrhythmias, thyrotoxicosis, and subclin. hyperthyroidism. For example, 2-Bu bromide was added to 3,5-dibromo-4-hydroxybenzeneacetic acid using TEA in acetone to give II (89%). I exhibited binding affinities to the thyroid hormone receptor α (ThRa) in the range of 100 nM to 10,000 nM.

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:509397 CAPLUS
 DN 121:109397
 TI Preparation of ester derivatives of 4-azasteroids as steroid 5 α -reductase inhibitors.
 IN Witzel, Bruce E.; Rasmussen, Gary H.; Tolman, Richard L.; Yang, Shu Shu
 PA Merck and Co., Inc., USA
 SO PCT Int. Appl., 66 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9323041	A1	19931125	WO 1993-US4771	19930519
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1992-886022 A219920520	
AU	9342525	A1	19931213	AU 1993-42525	19930519
AU	668181	B2	19960426		US 1992-886022 A 19920520

EP 649306	A1	19950426	WO 1993-US4771 A 19930519
EP 649306	B1	20010110	EP 1993-911362 19930519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			US 1992-886022 A 19920520
			WO 1993-US4771 W 19930519
JP 07508039	T2	19950907	JP 1993-503838 19930519
			US 1992-886022 A 19920520
			WO 1993-US4771 W 19930519
AT 198601	E	20010115	AT 1993-911362 19930519
			US 1992-886022 A 19920520
			WO 1993-US4771 W 19930519
US 5610162	A	19970311	US 1994-338573 19941117
			US 1992-886022 B219920520
			WO 1993-US4771 W 19930519

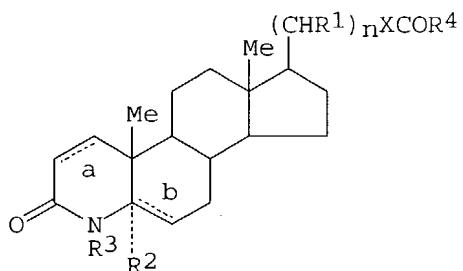
PATENT FAMILY INFORMATION:

FAN 1997:204394

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5610162	A	19970311	US 1994-338573	19941117
				US 1992-886022 B219920520	
				WO 1993-US4771 W 19930519	
	WO 9323041	A1	19931125	WO 1993-US4771	19930519
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 1992-886022 A219920520				

OS MARPAT 121:109397

GI



AB Title compds. [I; a, b = single bonds, R₂ = H; or a = single bond, b = double bond, and R₂ = null; R₁ = H, aryl, alkyl, aralkyl; R₃ = H, Me, Et, OH, NH₂, SMe; n = 0-10; X = O, S; R₄ = (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, amino, OH, etc.] were prepared as inhibitors of 5 α -reductase and isoenzymes thereof. The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp (no data). Thus, 20-hydroxy-4-methyl-5 α -4-azapregnan-3-one, 11-ethylthioundecanoic acid, DMAP, and DCC were stirred in CH₂Cl₂ at room temperature to give 20-[11-(ethylthio)undecanoyloxy]-4-methyl-5 α -4-azapregnan-3-one.

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:245602 CAPLUS
 DN **120:245602**
 TI Preparation of 17-ethers and thioethers of 4-aza-steroids as steroid
 reductase inhibitors
 IN Witzel, Bruce E.; Tolman, Richard L.; Rasmusson, Gary H.; Bakshi, Raman
 K.; Yang, Shu Shu
 PA Merck and Co., Inc., USA
 SO PCT Int. Appl., 68 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9323040	A1	19931125	WO 1993-US4746	19930519
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			US 1992-886031 A219920520	
	AU 9342521	A1	19931213	AU 1993-42521	19930519
	AU 668180	B2	19960426	US 1992-886031 A 19920520	
				WO 1993-US4746 A 19930519	
	EP 641204	A1	19950308	EP 1993-911358	19930519
	EP 641204	B1	20000816		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			US 1992-886031 A 19920520	
				WO 1993-US4746 W 19930519	
	JP 07508038	T2	19950907	JP 1993-503831	19930519
				US 1992-886031 A 19920520	
				WO 1993-US4746 W 19930519	
	AT 195530	E	20000915	AT 1993-911358	19930519
				US 1992-886031 A 19920520	
				WO 1993-US4746 W 19930519	
	ES 2148229	T3	20001016	ES 1993-911358	19930519
				US 1992-886031 A 19920520	
	US 5536727	A	19960716	US 1994-338572	19941117
				US 1992-886031 B219920520	
				WO 1993-US4746 W 19930519	

PATENT FAMILY INFORMATION:

FAN 1996:469929

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5536727	A	19960716	US 1994-338572	19941117
				US 1992-886031 B219920520	
				WO 1993-US4746 W 19930519	
	WO 9323040	A1	19931125	WO 1993-US4746	19930519
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			US 1992-886031 A219920520	

OS MARPAT 120:245602

GI

